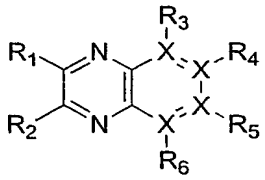
Claims

What is claimed is:

1. A compound of Formula (I):



Formula I

wherein,

X is N or C;

R_1 and R_2 each are independently is

1) hydrogen;
2) alkyl;
3) alkoxy;
4) cycloalkyl;
5) heterocyclyl;
6) heterocyclylalkyl;
7) aryl;
8) heteroaryl;
9) aralkyl;
10) heteroaralkyl; or
11) $-NH_2$, $-NHR_8$, $-NR_8R_8$;

wherein both R_1 and R_2 are not hydrogen, R_8 is independently hydroxyl, halo, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl;

R_3, R_4, R_5, and R_6 each independently is

1) hydrogen, cyano, nitro, or halo;
2) alkyl;
3) alkenyl;

4) alkynyl;

5) alkoxy;

6) cycloalkyl or heterocyclyl;

7) cycloalkylalkyl or heterocyclylalkyl;

8) aryl or heteroaryl;

9) aralkyl or heteroarylalkyl;

10) $-SO_2R_9$, $-CO_2R_9$, $-SR_9$, $-SOR_9$; or

11) $-NH_2$, $-NHR_9$, $-NR_9R_9$;

wherein R_9 is independently H, alkoxy, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl, $-NH_2$, $-NH(C_1-C_4)$alkyl, $-N[(C_1-C_4)alkyl]_2$, $-SO_2$alkyl, $-SO_2$aryl, alkylcarbonyl, alkoxycarbonyl, carbamoyl, urealyl, or carbamyl; and not including 2,3-dithiophen-2-yl-pyrido[2,3-b]pyrazine, 2,3-dithiophen-2-yl-pyrido[2,3-b]pyrazine-6-carboxylic acid (2-morpholin-4-yl-ethyl)-amide; or 2,3-dithiophen-2-yl-pyrido[2,3-b]pyrazine-6-carboxylic acid (3-morpholin-4-yl-propyl)-amide.

2. The compound according to claim 1, wherein R_1 or R_2 are substituted with at least one R_8, wherein R_8 is independently hydroxyl, halo, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl.

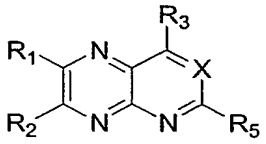
3. The compound according to claim 1, wherein R_1 and R_2 are be taken together to form a substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl.

4. The compound according to claim 1, wherein R_3, R_4, R_5, or R_6 are optionally substituted with R_9, wherein R_9 is independently alkoxy, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkoxy, substituted

or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl, $-NH_2$, $-NH(C_1-C_4)$alkyl, $-N[(C_1-C_4)alkyl]_2$, $-SO_2$alkyl, $-SO_2$aryl, alkylcarbonyl, alkoxycarbonyl, carbamoyl, urealyl, or carbamyl.

5. The compound according to claim 1, wherein either R_3 and R_4, R_4 and R_5, or R_5 and R_6 are taken together to form a ring including cycloalkyl, heterocyclyl, aryl, heteroaryl.

6. A compound having the Formula II:



Formula II

wherein,

X is N or C-R_4;

R_1 and R_2 each independently is

1) C_1-C_8 alkyl;
2) C_1-C_8 alkoxy;
3) C_3-C_8 cycloalkyl;
4) C_3-C_8 heterocyclyl;
5) C_3-C_8 cycloalkylalkyl or heterocyclylalkyl;
6) C_5-C_{10} aryl;
7) C_4-C_{10} heteroaryl;
8) C_6-C_{14} aralkyl;
9) C_5-C_{14} heteroaralkyl; or
10) $-NH_2$, $-NHR_8$, or $-NR_8R_8$,

wherein R_8 is independently hydroxyl, halo, cyano, C_1-C_4 alkyl, C_1-C_4 alkoxy, cycloalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, $-NH_2$, $-NHR_{12}$, or $-NR_{12}R_{12}$, wherein R_{12} is alkyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl; and optionally, R_1 and R_2 may be taken together to form a substituted or unsubstituted C_5-C_8 cycloalkyl, C_4-C_8 heterocyclyl, C_5-C_8 aryl, or C_4-C_8 heteroaryl;

R_3 and R_5 each independently is

1) hydrogen, halo, cyano, or nitro;
2) C_1-C_8 alkyl;
3) C_2-C_8 alkenyl;
4) C_2-C_8 alkynyl;
5) C_1-C_8 alkoxy;
6) C_3-C_8 cycloalkyl or heterocyclyl;
7) C_4-C_8 cycloalkylalkyl or heterocyclylalkyl;
8) C_3-C_{10} aryl or heteroaryl;
9) C_6-C_{14} aralkyl or heteroaralkyl; or
10) $-CO_2R_9$, $-SR_9$, $-SOR_9$, or $-SO_2R_9$;

wherein R_9 is independently H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_1-C_6 alkoxy, C_3-C_6 cycloalkyl, C_5-C_6 heterocyclyl, C_5-C_8 aryl, C_3-C_8 heteroaryl, C_6-C_{10} aralkyl, or C_5-C_{10} heteroaralkyl, and optionally, either R_3 and R_4, R_4 and R_5, or R_5 and R_6 are taken together to form a ring including C_4-C_6 cycloalkyl, C_4-C_6 heterocyclyl, C_5-C_8 aryl, or C_3-C_8 heteroaryl; and

R_4 is

1) cyano, nitro, or halo;
2) C_1-C_8 alkyl;
3) C_2-C_8 alkenyl;
4) C_1-C_8 alkoxy;
5) C_3-C_8 cycloalkyl;
6) C_3-C_8 heterocyclyl;
7) C_5-C_8 aryl;
8) C_3-C_{10} heteroaryl;
9) C_5-C_8 cycloalkylalkyl;
10) C_5-C_8 heterocyclylalkyl;
11) C_6-C_8 aralkyl;
12) C_5-C_8 heteroaralkyl;
13) $-CO_2R_{10}$, $-SR_{10}$, $-SOR_{10}$, or $-SO_2R_{10}$; or
14) $-NH_2$, $-NHR_{10}$, or $-NR_{10}R_{10}$,

wherein R_{10} is independently H, C_1-C_4 alkyl, C_2-C_4 alkenyl optionally subsituted with R_{13}, C_1-C_4 alkoxy optionally subsituted with R_{13}, C_4-C_6 heterocyclyl optionally subsituted with R_{13}, C_4-C_{10} heterocyclylalkyl optionally subsituted with R_{13}, C_7-C_8 aralkyl

optionally substituted with R_{13}, C_5-C_8 heteroaralkyl optionally substituted with R_{13}, NH_2, NHR_{13}, $NR_{13}R_{13}$, $-SO_2R_{13}$, C_1-C_5 carbomoyl optionally substituted with R_{13}, C_2-C_5 alkylcarbonyl optionally substituted with R_{13}, or C_2-C_5 alkoxycarbonyl optionally substituted with R_{13}, wherein R_{13} is C_1-C_4 alkyl, C_1-C_4 alkoxy, C_6-C_{10} aryl, C_5-C_8 heterocyclylalkyl, $-SO_2R_{14}$, C_2-C_6 alkylcarbonyl optionally substituted with R_{14}, C_6-C_{10} arylcarbonyl optionally substituted with R_{14}, carbamoyl, urealyl, or carbamyl, wherein R_{14} is C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, arylcarbonyl, NH_2, $NH[(C_1$-$C_4)alkyl]$, $N[(C_1$-$C_4)alkyl]_2$, alkylcarbonyl, alkoxycarbonyl, carbamoyl, urealyl, or carbamyl; and not including 2,3-dithiophen-2-yl-pyrido[2,3-b]pyrazine.

7. The compound according to claim 6, wherein R_1 or R_2 are substituted with at least one R_8, wherein R_8 is independently hydroxyl, halo, cyano, C_1-C_4 alkyl, C_1-C_4 alkoxy, cycloalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, $-NH_2$, $-NHR_{12}$, or $-NR_{12}R_{12}$, wherein R_{12} is alkyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl.

8. The compound according to claim 6, wherein R_1 and R_2 may be taken together to form a substituted or unsubstituted C_5-C_8 cycloalkyl, C_4-C_8 heterocyclyl, C_5-C_8 aryl, or C_4-C_8 heteroaryl.

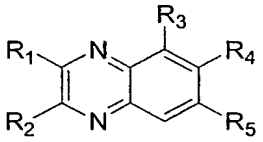
9. The compound according to claim 6, wherein R_3 or R_5 is substituted with at least one R_9, wherein R_9 is independently C_1-C_6 alkyl, C_2-C_6 alkenyl, C_1-C_6 alkoxy, C_3-C_6 cycloalkyl, C_5-C_6 heterocyclyl, C_5-C_8 aryl, C_3-C_8 heteroaryl, C_6-C_{10} aralkyl, or C_5-C_{10} heteroaralkyl.

10. The compound according to claim 6, wherein either R_3 and R_4, R_4 and R_5, or R_5 and R_6 are taken together to form a ring including C_4-C_6 cycloalkyl, C_4-C_6 heterocyclyl, C_5-C_8 aryl, or C_4-C_8 heteroaryl.

11. The compound according to claim 6, wherein R_4 is substituted with at least one R_{10}, wherein R_{10} is independently C_1-C_4 alkyl, C_2-C_4 alkenyl optionally subsituted with R_{13}, C_1-C_4 alkoxy optionally subsituted with R_{13}, C_4-C_6 heterocyclyl optionally subsituted with R_{13}, C_4-C_{10} heterocyclylalkyl optionally subsituted with R_{13}, C_7-C_8 aralkyl optionally substituted with R_{13}, C_5-C_8 heteroaralkyl optionally substituted with R_{13}, NH_2,

NHR_{13}, $NR_{13}R_{13}$, $-SO_2R_{13}$, C_1-C_5 carbomoyl optionally substituted with R_{13}, C_2-C_5 alkylcarbonyl optionally substituted with R_{13}, or C_2-C_5 alkoxycarbonyl optionally substituted with R_{13}, wherein R_{13} is C_1-C_4 alkyl, C_1-C_4 alkoxy, C_6-C_{10} aryl, C_5-C_8 heterocyclylalkyl, $-SO_2R_{14}$, C_2-C_6 alkylcarbonyl optionally substituted with R_{14}, C_6-C_{10} arylcarbonyl optionally substituted with R_{14}, carbamoyl, urealyl, or carbamyl, wherein R_{14} is C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, arylcarbonyl, NH_2, $NH[(C_1$-$C_4)alkyl]$, $N[(C_1$-$C_4)alkyl]_2$, alkylcarbonyl, alkoxycarbonyl, carbamoyl, urealyl, or carbamyl.

12. A compound of Formula III:



Formula III

wherein,

R_1 and R_2 each independently is

1) hydrogen;
2) C_1-C_8 alkyl;
3) C_1-C_8 alkoxy;
4) C_3-C_8 cycloalkyl;
5) C_3-C_8 heterocyclyl;
6) C_3-C_8 cycloalkylalkyl or heterocyclylalkyl;
7) C_5-C_{10} aryl;
8) C_3-C_{10} heteroaryl;
9) C_6-C_{14} aralkyl;
10) C_5-C_{14} heteroaralkyl; or
11) $-NH_2$, $-NHR_8$, or $-NR_8R_8$,

wherein both R_1 and R_2 are not hydrogen, R_8 is independently hydroxyl, halo, C_1-C_4 alkyl, C_1-C_4 alkoxy, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, $-NH_2$, $-NHR_{12}$, $-NR_{12}R_{12}$, alkylcarbonyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, urealyl, carbamyl, or $-SO_2R_{12}$, wherein R_{12} is halo, cyano, hydroxyl, C_1-C_4 alkyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, aryl, NH_2, $NH[(C_1$-$C_4)alkyl]$, $N[(C_1$-$C_4)alkyl]_2$; or optionally, R_1 and R_2 may be taken together to form a substituted or unsubstituted C_4-C_8 cycloalkyl, C_4-C_8 heterocyclyl, C_5-C_8 aryl, or C_5-C_8 heteroaryl; and

R_3, R_4, and R_5 each independently is

1) hydrogen, halo, cyano, or nitro;

2) C_1-C_8 alkyl;

3) C_2-C_8 alkenyl;

4) C_2-C_8 alkynyl;

5) C_1-C_8 alkoxy;

6) C_3-C_8 cycloalkyl or heterocyclyl;

7) C_5-C_8 aryl;

8) C_3-C_{10} heteroaryl;

9) C_6-C_{14} aralkyl;

10) $-NH_2$, $-NHR_9$, or $-NR_9R_9$; or

11) C_2-C_{10} carbamoyl, optionally substituted with at least one R_9;

wherein R_9 is independently C_1-C_4 alkyl, C_2-C_4 alkenyl, C_1-C_4 alkoxy, C_3-C_6 cycloalkyl, C_5-C_6 heterocyclyl, C_5-C_8 aryl, C_3-C_{10} heteroaryl, C_6-C_{10} aralkyl, C_4-C_{10} heteroarylalkyl, C_5-C_8 aryloxy, alkylcarbonyl, arylcarbonyl, carbamoyl, carbamyl, urealyl, or $-SO_2R_{11}$ wherein R_{11} is F, Cl, Br, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_5-C_8 aryl, or C_4-C_8 heteroaryl.

13. The compound according to claim 12, wherein R_1 or R_2 is substituted with R_8, wherien R_8 is independently hydroxyl, halo, C_1-C_4 alkyl, C_1-C_4 alkoxy, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, $-NH_2$, $-NHR_{12}$, $-NR_{12}R_{12}$, alkylcarbonyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, urealyl, carbamyl, $-SO_2R_{12}$, wherein R_{12} is halo, cyano, hydroxyl, C_1-C_4 alkyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, aryl, NH_2, $NH[(C_1$-$C_4)alkyl]$, $N[(C_1$-$C_4)alkyl]_2$; or optionally, R_1 and R_2 may be taken together to form a substituted or unsubstituted C_4-C_8 cycloalkyl, C_4-C_8 heterocyclyl, C_5-C_8 aryl, or C_5-C_8 heteroaryl.

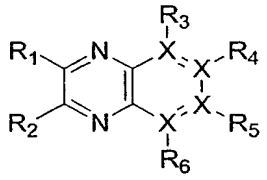
14. The compound according to claim 12, wherein R_1 and R_2 are taken together to form a substituted or unsubstituted C_4-C_8 cycloalkyl, C_4-C_8 heterocyclyl, C_5-C_8 aryl, or C_5-C_8 heteroaryl.

15. The compound according to claim 12, wherein R_3, R_4, or R_5 are substituted with at least one R_9, wherein R_9 is independently C_1-C_4 alkyl, C_2-C_4 alkenyl, C_1-C_4 alkoxy, C_3-C_6 cycloalkyl, C_5-C_6 heterocyclyl, C_5-C_8 aryl, C_3-C_{10} heteroaryl, C_6-C_{10}

aralkyl, C_4-C_{10} heteroarylalkyl, C_5-C_8 aryloxy, alkylcarbonyl, arylcarbonyl, carbamoyl, carbamyl, urealyl, or -SO_2R_{11} wherein R_{11} is F, Cl, Br, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_5-C_8 aryl, or C_4-C_8 heteroaryl.

16. The compound according to claim 12, wherein either R_3 and R_4, or R_4 and R_5 are taken together to form a ring including C_4-C_6 cycloalkyl, C_4-C_6 heterocyclyl, or C_5-C_8 aryl.

17. A method for treating cancer comprising administering a therapeutically effective amount of a compound of Formula (I) to a subject in need of such treatment, wherein the compound of Formula (I) has the formula:



Formula I

wherein,

X is N or C;

R_1 and R_2 each are independently is

1) hydrogen;
2) alkyl;
3) alkoxy;
4) cycloalkyl;
5) heterocyclyl;
6) heterocyclylalkyl;
7) aryl;
8) heteroaryl;
9) aralkyl;
10) heteroaralkyl; or
11) -NH_2, -NHR_8, or -NR_8R_8;

wherein both R_1 and R_2 are not hydrogen, R_8 is independently hydroxyl, halo, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or

unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl;

R_3, R_4, R_5, or R_6 each independently is

1) hydrogen, cyano, nitro, or halo;
2) alkyl;
3) alkenyl;
4) alkynyl;
5) alkoxy;
6) cycloalkyl or heterocyclyl;
7) cycloalkylalkyl or heterocyclylalkyl;
8) aryl or heteroaryl;
9) aralkyl or heteroarylalkyl;
10) $-SO_2R_9$, $-CO_2R_9$, $-SR_9$, or $-SOR_9$; or
11) $-NH_2$, $-NHR_9$, or $-NR_9R_9$;

wherein R_9 is independently H, alkoxy, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl, $-NH_2$, $-NH(C_1-C_4)$alkyl, $-N[(C_1-C_4)alkyl]_2$, $-SO_2$alkyl, $-SO_2$aryl, alkylcarbonyl, alkoxycarbonyl, carbamoyl, urealyl, or carbamyl or a pharmaceutically acceptable salt, hydrate or pro-drug thereof, in combination with a pharmaceutically acceptable carrier.

18. The method according to claim 17, wherein R_1 or R_2 are substituted with at least one R_8, wherein R_8 is independently hydroxyl, halo, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl.

19. The method according to claim 17, wherein R_1 and R_2 are taken together to form a substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl.

20. The method according to claim 17, wherein R_3, R_4, R_5, or R_6 are substituted with R_9, wherein R_9 is independently alkoxy, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl, $-NH_2$, $-NH(C_1-C_4)$alkyl, $-N[(C_1-C_4)alkyl]_2$, $-SO_2$alkyl, $-SO_2$aryl, alkylcarbonyl, alkoxycarbonyl, carbamoyl, urealyl, or carbamyl.

21. The method according to claim 17, wherein either R_3 and R_4, R_4 and R_5, or R_5 and R_6 are taken together to form a ring including cycloalkyl, heterocyclyl, aryl, or heteroaryl.

22. The method according to claim 17, wherein the dosage form is a tablet, caplet, troche, lozenge, dispersion, suspension, suppository, solution, capsule, or patch.

23. The method according to claim 17, wherein the compound is administered in about 0.001 mg/kg to about 100 mg/kg.

24. The method according to claim 21, wherein the compound is administered by oral administration.

25. The method according to claim 17, further comprising administration of at least one of Taxol, Vincristine, Adriamycin, Etoposide, Doxorubicin, Dactinomycin, Mitomycin C, Bleomycin, Vinblastine, or Cisplatin.